

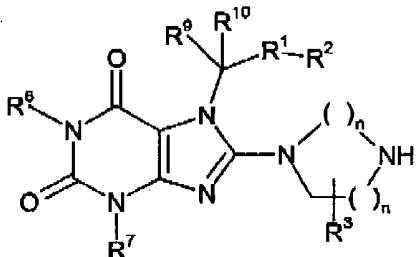
Attorney Docket No. 6197.214-US
 Kanstrup et al.
 Serial No. 10/621,302 Filed July 17, 2003
 Via Fax No.: 703-872-9306

IN THE CLAIMS:

This listing of claims will replace all prior versions and listings of claims in the application.

CLAIM LISTING

1. (Currently Amended) A compound of formula I



1

wherein

each n is one or two independently

R¹ is C=O; C=S; C₁-C₂ alkyl optionally substituted with one or more R⁴ independently; C₂ alkenyl substituted with one or more R⁴ independently; C₂ alkynyl; C₃-C₇ cycloalkyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more R⁴ independently; aryl optionally substituted with one or more R⁴ independently; aryl C₁-C₃ alkyl optionally substituted with one or more R⁴ independently; heteroaryl optionally substituted with one or more R⁴ independently; heteroaryl C₁-C₃ alkyl optionally substituted with one or more R⁴ independently; perhalo C₁-C₁₀ alkyl; perhalo C₁-C₁₀ alkyloxy;

R² is H; C₁-C₇ alkyl optionally substituted with one or more R⁴ independently; C₂-C₇ alkenyl optionally substituted with one or more R⁴ independently; C₂-C₇ alkynyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloalkyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more R⁴ independently; aryl optionally substituted with one or more R⁴ independently; aryl C₁-C₃ alkyl optionally substituted with one or more R⁴ independently; heteroaryl C₁-C₃ alkyl

Attorney Docket No. 6197.214-US

Kanstrup et al.

Serial No. 10/621,302 Filed July 17, 2003

Via Fax No.: 703-872-9306

optionally substituted with one or more R⁴ independently; heteroaryl optionally substituted with one or more R⁴ independently, -SH; -SR⁵; SOR⁵; SO₂R⁵; -CHO; -CH(OR⁵)₂; carboxy; -CO₂R⁴; NHCONNH₂; -NHCSNH₂; -NHCONH₂; -NHCOR⁴; -NHSO₂R⁵; -O-CO-(C₁-C₅) alkyl optionally substituted with one or more R⁴ independently; cyano; nitro; halogen; hydroxy; perhalo C₁-C₇ alkyl; perhalo C₁-C₇ alkyloxy; -SO₂NH₂; -SO₂NH(R⁵); -SO₂(R⁵)₂; -CONH₂; -CSNH₂; -CON₂H₃; -CONH(R⁵); -CON(R⁵)₂; C₁-C₁₀ alkyloxy optionally substituted with R⁴ independently; C₂-C₁₀ alkenyloxy optionally substituted with R⁴; C₂-C₁₀ alkynyloxy optionally substituted with R⁴ independently; heteroaryloxy optionally substituted with R⁴ independently;

R³ is H; C₁-C₁₀ alkyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkenyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkynyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloalkyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more R⁴ independently; aryl optionally substituted with one or more R⁴ independently; aryl C₁-C₃ alkyl optionally substituted with one or more R⁴ independently; heteroaryl C₁-C₃ alkyl optionally substituted with one or more R⁴ independently; heteroaryl optionally substituted with one or more R⁴ independently, C₁-C₁₀ alkyl-NH(CH₂)₁₋₄NH-aryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-NH(CH₂)₁₋₄NH-heteroaryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-O(CH₂)₁₋₄NH-aryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-O(CH₂)₁₋₄NH-heteroaryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-O(CH₂)₁₋₄O-aryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-O(CH₂)₁₋₄O-heteroaryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-S(CH₂)₁₋₄NH-aryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-S(CH₂)₁₋₄NH-heteroaryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-S(CH₂)₁₋₄S-aryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-S(CH₂)₁₋₄S-heteroaryl optionally substituted with one or more R⁴ independently; C₁-C₁₀ alkyl-O-C₁-C₅alkyl optionally substituted with one or more R⁴; -NHCOR⁴; -NHSO₂R⁵; -O-CO-(C₁-C₅) alkyl optionally substituted with one or more R⁴ independently; -SH; -SR⁵; -SOR⁵; -SO₂R⁵; -CHO; -CH(OR⁵)₂; carboxy; cyano; nitro; halogen; hydroxy; -SO₂NH₂; -SO₂NH(R⁵);

Attorney Docket No. 6197.214-US
 Kanstrup et al.
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-SO₂N(R⁵)₂; -CONH₂; -CONH(R⁵); -CON(R⁵)₂; -CSNH₂; -CONHNH₂; -CO₂R⁴; -
 NHCONHNH₂; -NHCSNH₂; -NHCONH₂;

R⁴ is C₁-C₁₀ alkyl optionally substituted with one or more R⁸ independently; C₂-C₁₀ alkenyl
 optionally substituted with one or more R⁸ independently; C₂-C₁₀ alkynyl optionally
 substituted with one or more R⁸ independently; C₃-C₇ cycloalkyl optionally substituted with
 one or more R⁸ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or
 more R⁸ independently; aryl optionally substituted with one or more R⁸ independently;
 heteroaryl optionally substituted with one or more R⁸ independently; amino; amino
 substituted with one or more C₁-C₁₀ alkyl optionally substituted with one or more R⁸; amino
 substituted with one or two aryl optionally substituted with one or more R⁸ independently;
 heteroaryl optionally substituted with one or more R⁸ independently; =O; =S; -CO-R⁵R⁵; -
 COOR⁵R⁵; -O-CO-(C₁-C₅) alkyl optionally substituted with one or more R⁸ independently;
 NH(CH₂)₁₋₄NH-aryl; NH(CH₂)₁₋₄NH-heteroaryl; -NHCOR⁵; -SOR⁵; SO₂R⁵; carboxy; cyano;
 N-hydroxyimino; nitro; halogen; hydroxy; perhalo C₁-C₁₀ alkyl; perhalo C₁-C₁₀ alkyloxy; -
 SH; -SR⁵; -SO₃H; -SO₃R⁵; -SO₂R⁵; -SO₂NH₂; -SO₂NH(R⁵); -SO₂N(R⁵)₂; -CONH₂; -
 CONH(R⁵); -CON(R⁵)₂; C₁-C₁₀ alkyloxy optionally substituted with one or more R⁸
 independently; C₂-C₁₀ alkenyloxy optionally substituted with one or more R⁸ independently; aryloxy
 optionally substituted with one or more R⁸ independently; heteroaryloxy optionally
 substituted with one or more R⁸ independently;
 and when two R⁴ are attached to the same carbon atom, they, together with the carbon
 atom, may form a spiroheterocyclic system[, preferably] selected from hydantoin;
 thiohydantoin; and oxazolidine-2,5-dione;

R⁵ is C₁-C₁₀ alkyl optionally substituted with one or more R⁸ independently; C₂-C₁₀ alkenyl
 optionally substituted with one or more R⁸ independently; C₂-C₁₀ alkynyl optionally
 substituted with one or more R⁸ independently; C₃-C₇ cycloalkyl optionally substituted with
 one or more R⁸ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more
 R⁸ independently; aryl optionally substituted with one or more R⁸ independently; aryl C₁-C₅
 alkyl optionally substituted with one or more R⁸ independently; heteroaryl optionally

Attorney Docket No. 6197.214-US
 Kanstrup et al.
 Serial No. 10/621,302 Filed July 17, 2003
 Via Fax No.: 703-872-9306

substituted with one or more R⁸ independently; heteroaryl C₁-C₅ alkyl optionally substituted with one or more R⁸ independently;

R⁶ is H; C₁-C₁₀ alkyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkenyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkynyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloalkyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more R⁴ independently; aryl optionally substituted with one or more R⁴ independently; heteroaryl optionally substituted with one or more R⁴ independently;

R⁷ is H; C₁-C₁₀ alkyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkenyl optionally substituted with one or more R⁴ independently; C₂-C₁₀ alkynyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloalkyl optionally substituted with one or more R⁴ independently; C₃-C₇ cycloheteroalkyl optionally substituted with one or more R⁴ independently; aryl optionally substituted with one or more R⁴ independently; heteroaryl optionally substituted with one or more R⁴ independently;

R⁸ is H, amidoxime; nitro, tetrazole; pentafluorophenyl; -CH₂OH; -CHO; -CH(OCH₃)₂; -COCH₃; -CF₃; -CCl₃; -OCF₃; -OCH₃; -CN; -CO₂H; -CO₂CH₃; -CONH₂; -CSNH₂; -CON₂H₃; -SO₃H; -SO₂NH₂; -SO₂NHCH₃; -SO₂N(CH₃)₂; -SO₂ (1-piperazinyl); -SO₂ (4-methylpiperazin-1-yl); -SO₂ (pyrrolidin-1-yl); -SO₂ (piperidin-1-yl); -SO₂ (morpholin-4-yl); N-hydroxyimino; -NH₂; -NHCH₃; -N(CH₃)₂; -NHCNHNH₂; -NHCNHNHCH₃; -NHCSNH₂; -NHCSNHCH₃; -NHCONH₂; -NHCONHCH₃; -NHOCH₃; -NHSO₂CH₃; piperazinyl; morpholin-4-yl; thiomorpholin-4-yl; pyrrolidin-1-yl; piperidin-1-yl; halogen; -OH; -SH; -SCH₃; -aminoacetyl; -OPO₃H₂; -OPO₃H₂; -OPO₃OCH₃; -OPO(OH)(OCH₃); -PO₃H₂; -PO(OCH₃)₂; PO(OH)(OCH₃);

R⁹ is H; halogen; C₁-C₁₀ alkyl optionally substituted with one or more R⁴ independently

R¹⁰ is H; halogen;

Attorney Docket No. 6197.214-US
Kønstrup et al.
Serial No. 10/621,302 Filed July 17, 2003
Via Fax No.: 703-872-9306

or, R^9 and R^{10} , together with the carbon atom to which they are attached, may be connected to form a cyclopropyl ring;

or a salt thereof with a pharmaceutically acceptable acid or base;

with the exception of the following compounds:

7-(3-Chloro-propyl)-1,3-dimethyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione;

7-(3-Amino-propyl)-1,3-dimethyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione;

3-(1,3-Dimethyl-2,6-dioxo-8-piperazin-1-yl-1,2,3,6-tetrahydro-purin-7-yl)-propionaldehyde;

1,3-dimethyl-7-(2-oxo-propyl)-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

1,3,1',3',7'-pentarnethyl-8-piperazin-1-yl-3,7,3',7'-tetrahydro-7,8'-methanediyl-bis-purine-2,6-dione,

~~3,4,5-trimethoxy-benzoic acid 2-(1,3-dimethyl-2,6-dioxo-8-piperazin-1-yl-1,2,3,6-tetrahydro-purin-7-yl)-ethyl ester,~~

7-[2-Hydroxy-3-(4-methoxy-phenoxy)-propyl]-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-[2-hydroxy-2-(4-nitro-phenyl)-ethyl]-3-methyl-8-piperazin-1-yl-3,7,8,9-tetrahydro-purine-2,6-dione,

7-Benzyl-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-(4-Chloro-benzyl)-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-(2-Chloro-benzyl)-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-Ethyl-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

3-Methyl-8-piperazin-1-yl-1,7-dipropyl-3,7-dihydro-purine-2,6-dione,

3-Methyl-7-(3-methyl-butyl)-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-Butyl-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

3-Methyl-7-(3-phenyl-propyl)-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-But-2-enyl-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-(3-Chloro-but-2-enyl)-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

7-Heptyl-3-methyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

3-Methyl-7-(1-phenyl-ethyl)-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

3-Methyl-7-(3-methyl-benzyl)-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione,

Attorney Docket No. 6197.214-US
Kanstrup et al.
Serial No. 10/621,302 Filed July 17, 2003
Via Fax No.: 703-872-9306

3-Methyl-7-propyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione, and
3-Methyl-7-pentyl-8-piperazin-1-yl-3,7-dihydro-purine-2,6-dione.

2. (Original) A pharmaceutical composition comprising at least one compound according to claim 1 together with a pharmaceutically acceptable carrier or diluent.